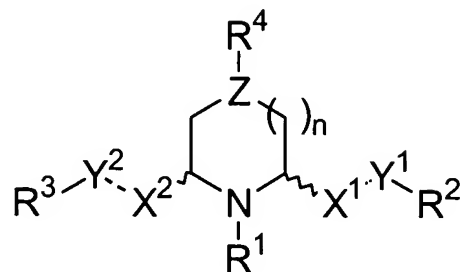


What is claimed is:

1. A 2,6-substituted piperidino compound and pharmaceutically effective salts thereof, including resolved diastereomers, enantiomers thereof, comprising the following formula:



wherein

n is an integer from 0 to 3;

X¹ represents CH₂;

Y¹ represents CHOH or C=O;

X²---Y² represents a *cis*-carbon-carbon double bond or a *trans*-carbon-carbon double bond;

Z represents CH;

R¹ and R⁴ are the same or independently different from one another and represent hydrogen or a lower straight chain or branched alkyl;

R² and R³ are the same or are independently different from one another and represent a saturated or unsaturated hydrocarbon ring, or an ortho, meta or para-substituted benzene.

2. The compound of claim 1, wherein R² and R³ are benzene rings.

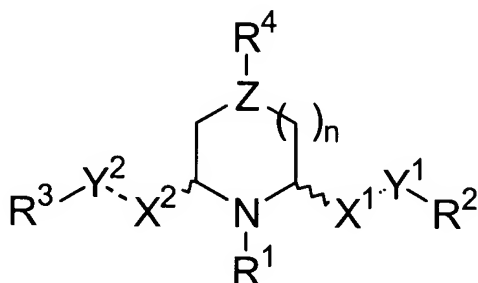
3. The compound of claim 2, wherein R¹ is hydrogen, methyl, ethyl or propyl.

4. The compound of claim 1, wherein R² and R³ are substituted benzenes containing at least one substituent selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, isobutyl, carboxaldehyde, acetoxy, propionyloxy, isopropionyloxy, acetyl,

propionyl, formyl, benzoyl, hydroxyl, methoxy, ethoxy, propoxy, isopropoxy, fluoro, chloro, bromo, iodo, and trifluoromethyl.

5. The compound of claim 1, wherein said compound is selected from the group consisting of N-methyl-2R-phenacyl-6S-*trans*-styrylpiperidine, *cis*-10R,2S,6R-N-methyl-6-[1-(2-hydroxy-2-phenyl)-ethyl]-2-*trans*-styrylpiperidine, *cis*-10S,2S,6R-N-methyl-6-[1-(2-hydroxy-2-phenyl)-ethyl]-2-*trans*-styrylpiperidine, N-methyl-2R-phenacyl-6S-*trans*-styrylpiperidine, N-Methyl-2R-(2R-hydroxy-2-phenethyl)-6S-*trans*-styrylpiperidine, N-methyl-2R-(2S-hydroxy-2-phenethyl)-6S-*trans*-styrylpiperidine, and N-methyl-2R-(2-phenethyl)-6S-*trans*-styrylpiperidine.

6. A 2,6-substituted piperidino compound and pharmaceutically effective salts thereof, including resolved diastereomers, enantiomers thereof, comprising the following formula:



wherein:

$n = 0, 1, 2, \text{ or } 3$ ;

$X^1\text{---}Y^1$  represents a *cis*-carbon-carbon double bond or a *trans*-carbon-carbon double bond;

$X^2$  represents  $\text{CH}_2$ ;

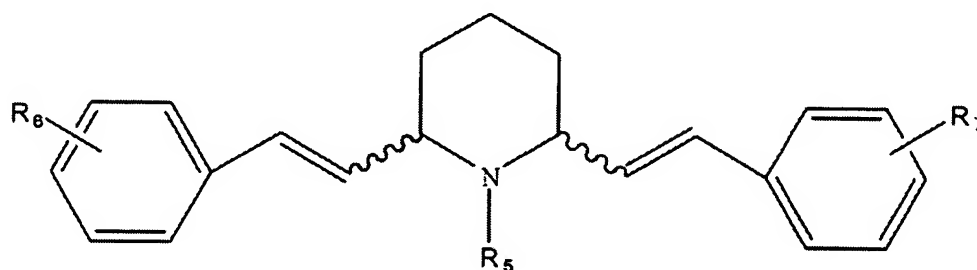
$Y^2$  represents  $\text{CHOH}$  or  $\text{C=O}$ ;

$Z$  represents  $\text{CH}$ ;

$R^1$  and  $R^4$  are the same or independently different from one another and represent hydrogen or a lower straight chain or branched alkyl;

$R^2$  and  $R^3$  are the same or are independently different from one another and represent a saturated or unsaturated hydrocarbon ring, or an ortho, meta or para-substituted benzene.

7. The compound of claim 6, wherein  $R^2$  and  $R^3$  are benzene rings.
8. The compound of claim 6, wherein  $R^1$  is hydrogen, methyl, ethyl or propyl.
9. The compound of claim 6, wherein  $R^1$  is hydrogen, methyl, ethyl or propyl.
10. The compound of claim 6, wherein  $R^2$  and  $R^3$  are substituted benzenes containing at least one substituent selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, isobutyl, carboxaldehyde, acetoxy, propionyloxy, isopropionyloxy, acetyl, propionyl, formyl, benzoyl, hydroxyl, methoxy, ethoxy, propoxy, isopropoxy, fluoro, chloro, bromo, iodo, and trifluoromethyl.
11. The compound of claim 6, wherein the compound is selected from the group consisting of N-methyl-2R-*trans*-styryl-6S-(2-phenethyl)piperidine and N-methyl-2S-*trans*-styryl-6S-(2-phenethyl)piperidine.
12. A 2,6-substituted piperidino compound and pharmaceutically effective salts thereof following formula:



wherein

$R_5$  represents hydrogen, methyl, deuteromethyl ( $CD_3$ ), tritiummethyl ( $CT_3$ ), ethyl, or  $C_3$ - $C_7$  straight chain or branched alkyl,  $C_3$ - $C_6$  cycloalkyl, vinyl, allyl,  $C_4$ - $C_7$  alkenyl, benzyl, and phenylethyl; and

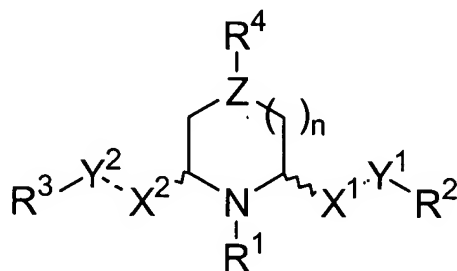
$R_6$  and  $R_7$  represent hydrogen, methyl, ethyl,  $C_3$ - $C_7$  straight chain or branched alkyl,  $C_3$ - $C_6$  cycloalkyl, vinyl, allyl,  $C_4$ - $C_7$  alkenyl, benzyl, phenylethyl, N-methylamino, N,N-dimethylamino, carboxylate, methylcarboxylate, ethylcarboxylate, propylcarboxylate,

isopropylcarboxylate, carboxaldehyde, acetoxy, propionyloxy, isopropionyloxy, cyano, aminomethyl, N-methylaminomethyl, N,N-dimethylaminomethyl, carboxamide, N-methylcarboxamide, N,N-dimethylcarboxamide, acetyl, propionyl, formyl, benzoyl, sulfate, methylsulfate, hydroxyl, methoxy, ethoxy, propoxy, isopropoxy, thiol, methylthio, ethylthio, propiothiol, fluoro, chloro, bromo, iodo, trifluoromethyl, propargyl, nitro, carbamoyl, ureido, azido, isocyanate, thioisocyanate, hydroxylamino, and nitroso.

13. The compound of claim 12, wherein R<sup>1</sup> is hydrogen, methyl, ethyl or propyl.

14. The compound of claim 12, wherein the compound is selected from the group consisting of N-methyl-2,6-*cis*-di-*trans*-styrylpiperidine, N-methyl-2S,6S-*trans*-di-*trans*-styrylpiperidine, and N-methyl-2R,6R- *trans*-di-*trans*-styryl piperidine.

15. A 2,6-substituted piperidino or a 2,6-substituted piperazino compound and pharmaceutically effective salts thereof, including resolved diastereomers, enantiomers thereof, comprising the following formula:



wherein

n is an integer from 0 to 3;

X¹---Y¹ and X²---Y² are the same or independently different and represent a CH₂-CH₂ or CH₂-CHOH;

Z-R⁴ represents N-R⁴, CH-R⁴ or C=O, where R⁴ is hydrogen or a lower straight chain or branched alkyl;

R¹ represents hydrogen or a lower straight chain or branched alkyl; or when when Z is CH-R⁴, R¹ and R⁴ together form a ring including a -CH₂-, -O-CH₂-O-, -CH₂CH₂-, -CH₂CH₂-CH₂-, -*cis*-CH=CH-, -*cis*-CH₂-CH=CH- or -*cis*-CH₂=CH-CH₂- moiety;

$R^2$  and  $R^3$  are the same or are independently different from one another and represent a saturated or unsaturated hydrocarbon ring, or an ortho, meta or para-substituted benzene.

16. The compound of claim 15, wherein  $R^1$  is hydrogen, methyl, ethyl or propyl.

17. The compound of claim 15, wherein  $R^2$  and  $R^3$  are benzene rings.

18. The compound of claim 15, wherein  $R^2$  and  $R^3$  are substituted benzenes containing at least one substituent selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, isobutyl, carboxaldehyde, acetoxy, propionyloxy, isopropionyloxy, acetyl, propionyl, formyl, benzoyl, phenyl, hydroxyl, methoxy, ethoxy, propoxy, isopropoxy, fluoro, chloro, bromo, iodo, and trifluoromethyl.

19. The compound of claim 15, wherein  $R^2$  and  $R^3$  are naphthyl or diphenyl groups.

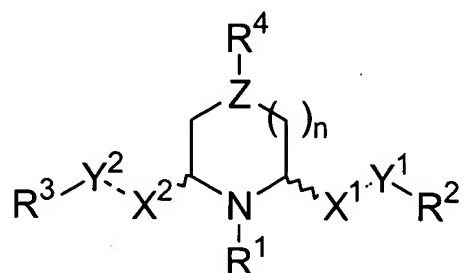
20. The compound of claim 15, wherein Z is  $N-R^4$ .

21. The compound of claim 15, wherein Z is  $CH-R^4$ .

22. The compound of claim 14, wherein the compound is selected from the group consisting of *cis*-10R,2S,6R- and *cis*-10S,2S,6R-N-methyl-6-[1-(2-hydroxy-2-phenyl)-ethyl]-2-*trans*-styrylpiperidine, *cis*-2S,6R-N-methyl-6-[1-(2-hydroxy-2-phenyl)ethyl]-2-phenylethylpiperidine, 2S,6R, 8S-2-[6-( $\beta$ -*para*-toluenesulfonyloxyphenethyl)-1-methyl-2-piperidyl]-acetophenone, N-Methyl-2R-(2R-hydroxy-2-phenethyl)-6S-(2-phenethyl) piperidine, N-Methyl-2R-(2S-hydroxy-2-phenethyl)-6S-(2-phenethyl) piperidine, N-methyl-2,6-*cis*-di-(2-phenethyl)piperidine, 2,6-*cis*-diphenethylpiperidine, N-ethyl-2,6-*cis*-diphenethylpiperidine, N-(n-propyl)-2,6-*cis*-diphenethylpiperidine, 2,6-*cis*-di-(3,4-methylenedioxyphenethyl)piperidine, N-methyl-2,6-*cis*-di-(3,4-methylenedioxyphenethyl)-piperidine, N-methyl-2S,6S-*trans*-di-(2-phenethyl)piperidine, N-methyl-2R,6R-*trans*-di-(2-phenethyl)piperidine, 2,6-*cis*-di-(*o*-fluorophen-ethyl)piperidine, N-methyl-2,6-*cis*-di-(*o*-fluorophenethyl)-piperidine, 2,6-*cis*-di-(*m*-fluorophenethyl)piperidine, N-methyl-2,6-*cis*-di-(*m*-fluorophenethyl)-piperidine, 2,6-*cis*-di-(*p*-

fluorophenethyl)piperidine, N-methyl-2,6-*cis*-di-(*p*-fluorophenethyl)-piperidine, 2,6-*cis*-di-(*o*-methoxyphenethyl)piperidine, N-methyl-2,6-*cis*-di-(*o*-methoxyphen-ethyl)piperidine, 2,6-*cis*-di-(*m*-methoxyphenethyl)piperidine, N-methyl-2,6-*cis*-di-(*m*-methoxyphenethyl)piperidine, 2,6-*cis*-di-(*p*-methoxyphenethyl)piperidine, N-methyl-2,6-*cis*-di-(*p*-methoxyphenethyl)piperidine, 2,6-*cis*-di-(*p*-methylphenethyl)piperidine, N-methyl-2,6-*cis*-di-(*p*-methylphenethyl)piperidine, 2,6-*cis*-di-(*m*-trifluoromethylphenethyl)piperidine, N-methyl-2,6-*cis*-di-(*m*-trifluoromethylphenethyl)piperidine, 2,6-*cis*-di-(*p*-phenylphenethyl)piperidine, N-methyl-2,6-*cis*-di-(*p*-phenylphenethyl)piperidine, 2,6-*cis*-di-(*p*-hydroxymethylphenethyl)-piperidine, 2,6-*cis*-di-(*p*-acetoxymethylphenethyl)piperidine, 2,6-*cis*-di-(2, 4-dichlorophenethyl)-piperidine, N-methyl-2,6-*cis*-di-(2,4-dichlorophenethyl)piperidine, 2,6-*cis*-di-(1-naphthalen-ethyl)piperidine, N-methyl-2,6-*cis*-di-(1-naphthalenethyl)piperidine, 2,6-*cis*-di-(2-naphthalen-ethyl)piperidine, N-methyl-2,6-*cis*-di-(2-naphthalenethyl)piperidine, 2,6-*cis*-diphenethyl-piperazine, and 1,4-dimethyl-2,6-*cis*-diphenethylpiperazine.

23. A 2,6-substituted piperidino or a 2,6-substituted piperazino compound and pharmaceutically effective salts thereof, including resolved diastereomers, enantiomers thereof, comprising the following formula:



wherein

*n* is an integer from 0 to 3;

X¹---Y¹ represents a carbon-carbon triple bond;

X²---Y² represents a CH₂-CH₂;

Z-R⁴ represents C=O; and

R² and R³ are the same or are independently different from one another and represent a saturated or unsaturated hydrocarbon ring, or an ortho, meta or para-substituted benzene.

24. The compound of claim 23, wherein R² and R³ are benzene rings.

25. The compound of claim 23, wherein  $R^2$  and  $R^3$  are substituted benzenes containing at least one substituent selected from the group consisting of methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, isobutyl, carboxaldehyde, acetoxy, propionyloxy, isopropionyloxy, acetyl, propionyl, formyl, benzoyl, hydroxyl, methoxy, ethoxy, propoxy, isopropoxy, fluoro, chloro, bromo, iodo, and trifluoromethyl.

26. The compound of claim 23, wherein the compound is 2,6- *cis*-2-phenethynyl-6-phenethylperidin-4-one or 2,6-*trans*-2-phenethynyl-6-phenethylperidin-4-one

27. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 1.

28. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 5.

29. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 6.

30. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 11.

31. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 15.

32. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 22.

33. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 23.

34. A method of treating an individual for dependence on a drug of abuse, withdrawal from a drug of abuse, an eating disorder, or a CNS disease or pathology comprising administering to the individual a therapeutically effect amount of a compound of claim 26.